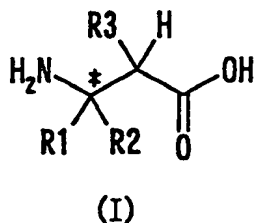


WHAT IS CLAIMED IS

1. A production method of an optically active  $\beta$ -amino acid represented by the formula (I)



5 wherein

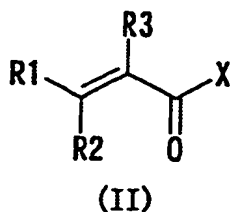
R1, R2 and R3

are each independently a hydrogen atom, or an optionally substituted alkyl, alkenyl or aryl group, or

R1 and R3 may be linked to form a straight chain or  
10 branched chain alkylene having 1 to 4 carbon atoms,  
provided that R1 and R2 are not the same; and

\* shows an asymmetric carbon,

which comprises a step of reacting a compound represented by  
the formula (II)



15

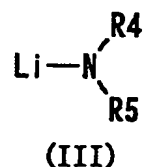
wherein

R1, R2 and R3

are as defined above, and

X is an optionally substituted alkoxy, aryloxy,

20 arylalkyloxy or amino group, with a lithium amide  
represented by the formula (III)



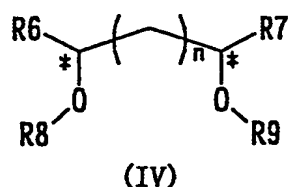
wherein

R4 and R5

are the same or different and each is a silyl-protecting  
 5 group, or an optionally substituted benzyl, benzhydryl  
 or trityl group,

provided that when one of R4 and R5 is a silyl-  
 protecting group, then the other should be other than a  
 silyl-protecting group,

10 in the presence of a compound represented by the formula (IV)



wherein

R6, R7, R8 and R9

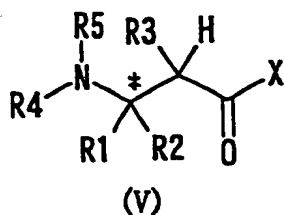
are the same or different and each is an optionally  
 15 substituted alkyl group or aryl group, or

R6 and R7 may be linked to form a straight chain or  
 branched chain alkylene having 2 to 5 carbon atoms;

n is an integer of 0 to 3; and

\* shows an asymmetric carbon that forms a configuration of  
 20 (S,S) or (R,R).

2. A production method of an optically active compound  
 represented by the formula (V)



wherein

R1, R2 and R3

are each independently a hydrogen atom, or an optionally  
5 substituted alkyl, alkenyl or aryl group, or

R1 and R3 may be linked to form a straight chain or  
branched chain alkylene having 1 to 4 carbon atoms,  
provided that R1 and R2 are not the same;

X  
10 is an optionally substituted alkoxy, aryloxy,  
arylalkyloxy or amino group;

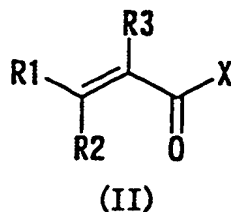
R4 and R5

are the same or different and each is a silyl-protecting  
group or an optionally substituted benzyl, benzhydryl or  
trityl group,

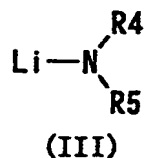
15 provided that when one of R4 and R5 is a silyl-  
protecting group, then the other should be other than a  
silyl-protecting group; and

\* shows an asymmetric carbon,

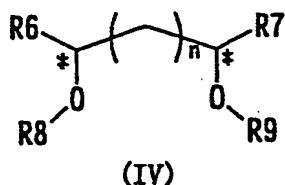
which comprises reacting a compound represented by the formula  
20 (II)



wherein R1, R2, R3 and X are as defined above, with a lithium  
amide represented by the formula (III)



wherein R4 and R5 are as defined above, in the presence of a compound represented by the formula (IV)



5 wherein

R6, R7, R8 and R9

are the same or different and each is an optionally substituted alkyl or aryl group, or

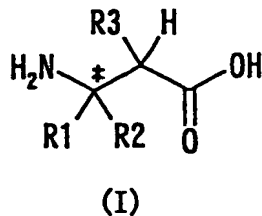
10 R6 and R7 may be linked to form a straight chain or branched chain alkylene having 2 to 5 carbon atoms;

n is an integer of 0 to 3; and

\* shows an asymmetric carbon that forms a configuration of (S,S) or (R,R).

15 3. The production method of claim 1 or 2, wherein the reaction is carried out in the additional presence of chlorotrimethylsilane.

4. A production method of an optically active  $\beta$ -amino acid  
20 represented by the formula (I)



wherein

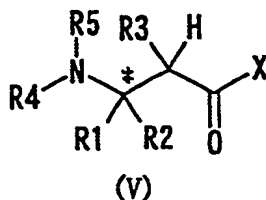
R1, R2 and R3

are each independently a hydrogen atom, or an optionally substituted alkyl, alkenyl or aryl group, or

R1 and R3 may be linked to form a straight or branched  
5 chain alkylene having 1 to 4 carbon atoms,  
provided that R1 and R2 are not the same; and

\* shows an asymmetric carbon,

which comprises subjecting a compound represented by the  
formula (V)



10

wherein

R1, R2, R3 and \*

are as defined above;

X is an optionally substituted alkoxy, aryloxy,

15 arylalkyloxy or amino group; and

R4 and R5

are the same or different and each is a silyl-protecting  
group or an optionally substituted benzyl, benzhydryl or  
trityl group,

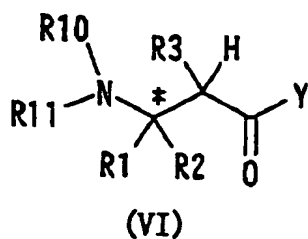
20

provided that when one of R4 and R5 is a silyl-  
protecting group, then the other should be other than a  
silyl-protecting group,

to a deprotection reaction of an amino group and a carboxyl  
group.

25

5. A production method of an optically active compound  
represented by the formula (VI)



wherein

R10 and R11

are the same or different and each is a hydrogen atom,  
 5 or an optionally substituted benzyl, benzhydryl or  
 trityl group,

provided that when one of R10 and R11 is a hydrogen atom,  
 then the other should be other than a hydrogen atom;

Y is a hydroxyl group, or an optionally substituted alkoxy,  
 10 aryloxy, arylalkyloxy or amino group;

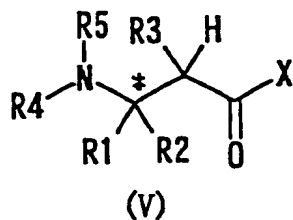
R1, R2 and R3

are each independently a hydrogen atom, or an optionally  
 substituted alkyl, alkenyl or aryl group, or

R1 and R3 may be linked to form a straight or branched  
 15 chain alkylene having 1 to 4 carbon atoms,  
 provided that R1 and R2 are not the same; and

\* shows an asymmetric carbon,

which comprises subjecting a compound represented by the  
 formula (V)



20

wherein

R1, R2, R3 and \*

are as defined above;

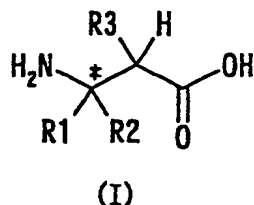
X is an optionally substituted alkoxy, aryloxy,  
 25 arylalkyloxy or amino group; and

R4 and R5

are the same or different and each is a silyl-protecting group or an optionally substituted benzyl, benzhydryl or trityl group,

5 provided that when one of R4 and R5 is a silyl-protecting group, then the other should be other than a silyl-protecting group,  
to a quenching step.

10 6. A production method of an optically active  $\beta$ -amino acid represented by the formula (I)



wherein

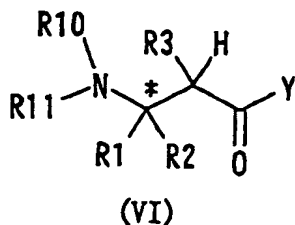
R1, R2 and R3

15 are each independently a hydrogen atom, or an optionally substituted alkyl, alkenyl or aryl group, or

R1 and R3 may be linked to form a straight chain or branched chain alkylene having 1 to 4 carbon atoms, provided that R1 and R2 are not the same, and

20 \* shows an asymmetric carbon,

which comprises subjecting a compound represented by the formula (VI)



25 wherein

R1, R2, R3 and \*

are as defined above;

R10 and R11

are the same or different and each is a hydrogen atom,  
5 or an optionally substituted benzyl, benzhydryl or  
trityl group,  
provided that when one of R10 and R11 is a hydrogen atom,  
then the other should be other than a hydrogen atom; and  
Y is a hydroxyl group, or an optionally substituted alkoxy,  
10 aryloxy, arylalkyloxy or amino group,  
to an amino-deprotecting reaction and, where necessary, a  
carboxyl-deprotecting reaction.

7. The production method of any of claims 1 to 6, wherein R2  
15 is a hydrogen atom.

8. The production method of any of claims 1 to 7, wherein R2  
and R3 are hydrogen atoms, R4 is a trimethylsilyl group, R5 is  
a benzyl group, R6 and R7 are phenyl groups, R8 and R9 are  
20 methyl groups, n is 0 and X is a tert-butoxy group.

9. The production method of claim 8, wherein R1 is a phenyl  
group.

25